89212

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Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Richard Schnizer Examiner #: 76557 Date: 3/17/03
Art Unit: 1635 Phone Number 30 6 5441 Serial Number: 10/021,421
Mail Box and Bldg/Room Location: CM1 11E12 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Lipid compounds and compositions containing same

Inventors (please provide full names): Rainer Bischoff, ~~Abdelkrim~~ Abdesslame Nazih, Yves Cordier

Earliest Priority Filing Date: 2/27/98

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

Please search ~~commercial~~
claim 45, attached.

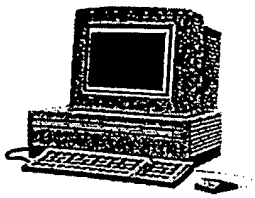
Point of Contact:
Barb O'Bryen
Technical Information Specialist
STIC CM1 6A05 308-4291

****

STAFF USE ONLY	Type of Search		Vendors and cost where applicable	
Searcher: BOB	NA Sequence (#)		STN	215
Searcher Phone #:	AA Sequence (#)		Dialog	
Searcher Location:	Structure (#)	8	Questel/Orbit	
Date Searcher Picked Up: 3-24	Bibliographic		Dr.Link	
Date Completed: 3-25-03	Litigation		Lexis/Nexis	
Searcher Prep & Review Time: 65	Fulltext		Sequence Systems	
Clerical Prep Time:	Patent Family		WWW/Internet	
Online Time: 33	Other		Other (specify)	

PTO-1590 (8-01)

BioTech-Chem Library
Search Results
Feedback Form (Optional)



Scientific & Technical Information Center

The search results generated for your recent request are attached. If you have any questions or comments (compliments or complaints) about the scope or the results of the search, please contact ***the BioTech-Chem searcher*** who conducted the search ***or contact***:

Mary Hale, Supervisor, 308-4258
CM-1 Room 1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* *(Example: 1610)*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Search results were not useful in determining patentability or understanding the invention.

Other Comments:

Drop off completed forms at the **Circulation Desk CM-1,** or send to Mary Hale, **CM1-1E01 or mary.hale@uspto.gov**

```
=> fil reg; d stat que l19; fil capl; d que nos l20; fil uspatf; d que nos l23
FILE 'REGISTRY' ENTERED AT 10:16:32 ON 25 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   24 MAR 2003  HIGHEST RN 500530-01-8
DICTIONARY FILE UPDATES:  24 MAR 2003  HIGHEST RN 500530-01-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf


L1                STR
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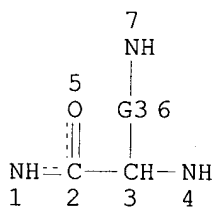

Formula II

```
REP G3=(1-4) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
L2                STR
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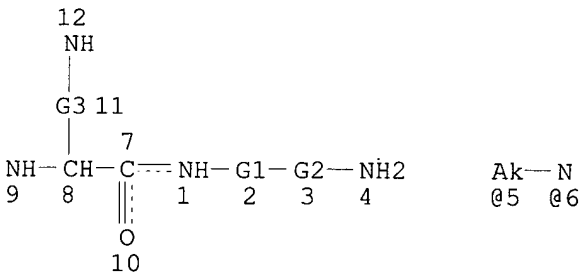


```
REP G1=(0-5) 5-1 6-3
REP G2=(1-6) CH2
REP G3=(1-4) CH2
NODE ATTRIBUTES:
CONNECT IS E2  RC AT   5
```

searched looking for Formula II combined with any of the following 4 structures (Formula I)

DEFAULT MLEVEL IS ATOM
GGCAT IS LIN LOC SAT AT 5
DEFAULT ECLEVEL IS LIMITED

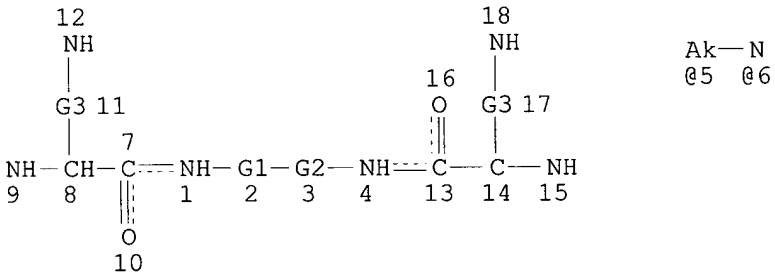
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L3 STR

REP G1=(0-5) 5-1 6-3
REP G2=(1-6) CH2
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 5
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN LOC SAT AT 5
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 6

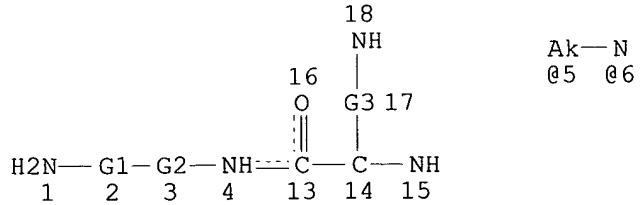
STEREO ATTRIBUTES: NONE
L4 STR



REP G1=(0-5) 5-1 6-3
REP G2=(1-6) CH2
REP G3=(1-4) CH2
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 5
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN LOC SAT AT 5
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 18

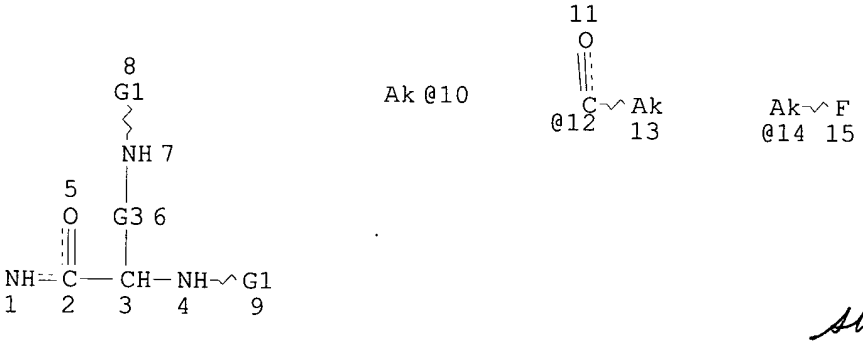
STEREO ATTRIBUTES: NONE
L5 STR



REP G1=(0-5) 5-1 6-3
REP G2=(1-6) CH2
REP G3=(1-4) CH2
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 5
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN LOC SAT AT 5
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L6 SCR 1835 OR 1363
L7 SCR 1126 AND 1235
L8 529 SEA FILE=REGISTRY SSS FUL ((L2 OR L3 OR L4 OR L5)) AND L1 AND (L6 OR L7)
L15 STR

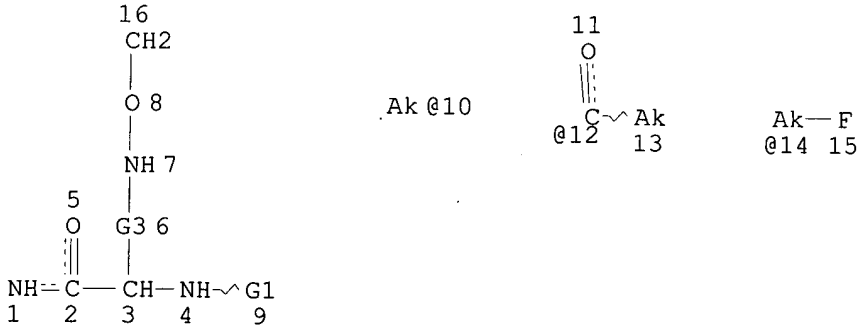


subset search done looking for any of the following 3 structures within a first answer set (addresses proviso)

VAR G1=10/12/CB/14
REP G3=(1-4) CH2
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 10
CONNECT IS E1 RC AT 13
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M6 C AT 10
ECOUNT IS M6 C AT 13

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 15

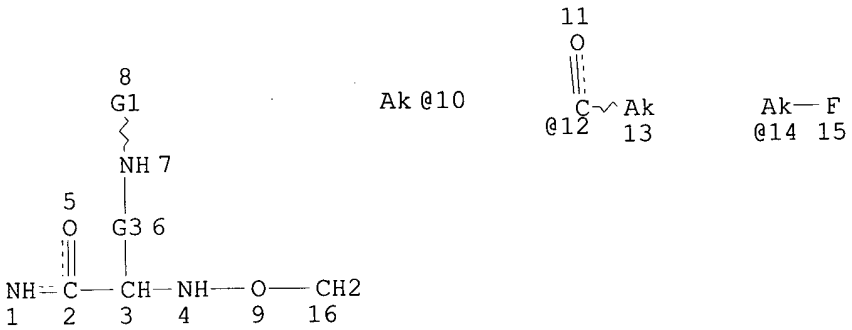
STEREO ATTRIBUTES: NONE
L16 STR



VAR G1=10/12/CB/14
REP G3=(1-4) CH2
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 10
CONNECT IS E1 RC AT 13
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M6 C AT 10
ECOUNT IS M6 C AT 13

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L17 STR



VAR G1=10/12/CB/14
REP G3=(1-4) CH2
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 10
CONNECT IS E1 RC AT 13
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M6 C AT 10
ECOUNT IS M6 C AT 13

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L19 12 SEA FILE=REGISTRY SUB=L8 SSS FUL (L15 OR L16 OR L17)

100.0% PROCESSED 529 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 10:16:32 ON 25 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Mar 2003 VOL 138 ISS 13
FILE LAST UPDATED: 24 Mar 2003 (20030324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1		STR
L2		STR
L3		STR
L4		STR
L5		STR
L6		SCR 1835 OR 1363
L7		SCR 1126 AND 1235
L8	529	SEA FILE=REGISTRY SSS FUL ((L2 OR L3 OR L4 OR L5)) AND L1 AND (L6 OR L7)
L15		STR
L16		STR
L17		STR
L19	12	SEA FILE=REGISTRY SUB=L8 SSS FUL (L15 OR L16 OR L17)
L20	5	SEA FILE=CAPLUS ABB=ON L19

FILE 'USPATFULL' ENTERED AT 10:16:32 ON 25 MAR 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 25 Mar 2003 (20030325/PD)
FILE LAST UPDATED: 25 Mar 2003 (20030325/ED)
HIGHEST GRANTED PATENT NUMBER: US6539548
HIGHEST APPLICATION PUBLICATION NUMBER: US2003056270
CA INDEXING IS CURRENT THROUGH 25 Mar 2003 (20030325/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 25 Mar 2003 (20030325/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
L1              STR
L2              STR
L3              STR
L4              STR
L5              STR
L6              SCR 1835 OR 1363
L7              SCR 1126 AND 1235
L8          529 SEA FILE=REGISTRY SSS FUL ((L2 OR L3 OR L4 OR L5)) AND L1 AND
                (L6 OR L7)
L15             STR
L16             STR
L17             STR
L19          12 SEA FILE=REGISTRY SUB=L8 SSS FUL (L15 OR L16 OR L17)
L23           2 SEA FILE=USPATFULL ABB=ON  L19


=> dup rem l20,123
FILE 'CAPLUS' ENTERED AT 10:16:37 ON 25 MAR 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'USPATFULL' ENTERED AT 10:16:37 ON 25 MAR 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L20
PROCESSING COMPLETED FOR L23
L25             7 DUP REM L20 L23 (0 DUPLICATES REMOVED)
                  ANSWERS '1-5' FROM FILE CAPLUS
                  ANSWERS '6-7' FROM FILE USPATFULL

=> d ibib abs hitstr 1-7; fil cao; d que nos l24; fil hom

L25  ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:         2002:521684  CAPLUS
DOCUMENT NUMBER:          137:88483
TITLE:                    Hydrophobic polyamine analogs and methods for their
                          use
INVENTOR(S):              Burns, Mark Robert; Graminski, Gerard F.; Banduir,
                          Nand
PATENT ASSIGNEE(S):       Oridigm Corporation, USA
SOURCE:                   PCT Int. Appl., 91 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
     ---------------   ----  --------       ---------------   --------
     WO 2002053519      A2   20020711       WO 2002-US347     20020108
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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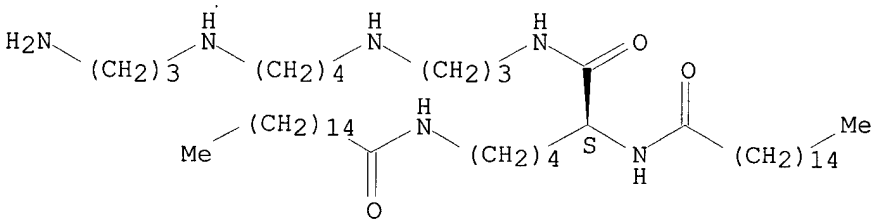
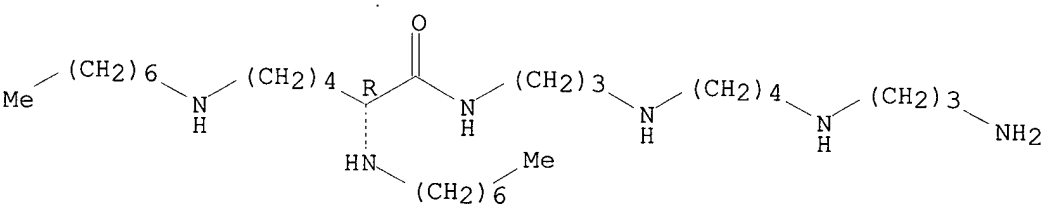
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-260415P P 20010108
OTHER SOURCE(S): MARPAT 137:88483
AB The invention provides polyamine analogs and derivs. contg. a hydrophobic region and a polyamine region, as well as methods and compns. for their use. The compds. of the invention can be used e.g. to treat cancer osteoporosis, asthma, etc.
IT **441023-13-8 441023-78-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrophobic polyamine analogs and use)
RN 441023-13-8 CAPLUS
CN Hexadecanamide, N,N'-[(1S)-1-[[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]carbonyl]-1,5-pentanediyl]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 441023-78-5 CAPLUS
CN Hexanamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2,6-bis(heptylamino)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

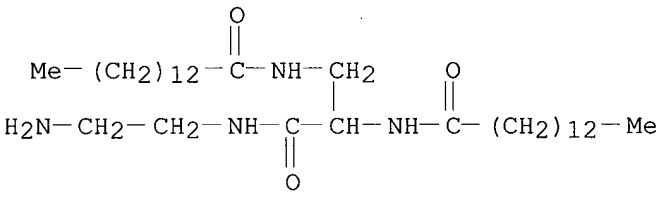


L25 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:360332 CAPLUS
DOCUMENT NUMBER: 135:122686
TITLE: Amphiphilic Anionic Analogues of Galactosylceramide: Synthesis, Anti-HIV-1 Activity, and gp120 Binding
AUTHOR(S): Faroux-Corlay, Barbara; Greiner, Jacques; Terreux, Raphaeel; Cabrol-Bass, Daniel; Aubertin, Anne-Marie; Vierling, Pierre; Fantini, Jacques
CORPORATE SOURCE: Laboratoire de Chimie Bioorganique Faculte desSciences, UMR 6001 CNRS-Universite de Nice Sophia-Antipolis, Nice, 06108, Fr.
SOURCE: Journal of Medicinal Chemistry (2001), 44(13), 2188-2203
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors describe the synthesis together with the results of anti-HIV-1 activity and gp120-monolayer binding expts. of new galactosyl amphiphiles,

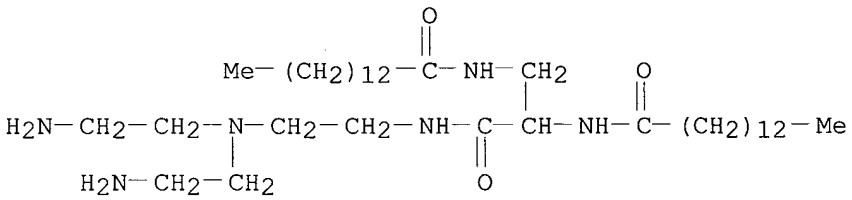
analogs of galactosylceramide, an alternative receptor used by HIV to infect CD4 neg. cells. These compds. consist of single- and double-chain amphiphiles contg. one or two galactose residues. To favor their clustering into galactosyl-rich microdomains, their mol. structure contains also an amino group or several hydroxyls or anionic groups, such as carboxylate, sulfate, sulfonate, and phosphate. Among the 12 new galactosylated compds. reported, a specific anti-HIV activity, although moderate (IC50 from 10 to 50 .mu.M), was detected only for three of them, i.e., I-GalSer[CO2Na][C14], II-GalSer[C14][C7SO3Na], and II-GalSer[C2SO4Na][C14], which contain an anionic group. The marked increase of surface pressure which was obsd. upon addn. of gp120 into the aq. subphase underneath the monolayers contg. these galactolipids indicated gp120 insertion into the monolayers, suggesting that binding of these three derivs. to HIV-1 gp120 may be responsible for their anti-HIV activity.

IT **350689-37-1 350689-38-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis, anti-HIV-1 activity and gp120 binding of amphiphilic anionic analogs of galactosylceramide)

RN 350689-37-1 CAPLUS
CN Tetradecanamide, N-[2-[(2-aminoethyl)amino]-2-oxo-1-[[(1-oxotetradecyl)amino]methyl]ethyl]- (9CI) (CA INDEX NAME)



RN 350689-38-2 CAPLUS
CN Tetradecanamide, N-[2-[[2-[bis(2-aminoethyl)amino]ethyl]amino]-2-oxo-1-[[(1-oxotetradecyl)amino]methyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:881174 CAPLUS
DOCUMENT NUMBER: 134:61521
TITLE: Compositions and methods for delivery of drugs and nucleic acids using pH sensitive molecules
INVENTOR(S): Wolff, Jon A.
PATENT ASSIGNEE(S): Mirus Corporation, USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075164	A1	20001214	WO 2000-US15651	20000607
W: JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1102785	A1	20010530	EP 2000-939634	20000607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:

US 1999-137859P	P	19990607
US 1999-167836P	P	19991129
US 1999-172809P	P	19991221
WO 2000-US15651	W	20000607

AB A system relating to the delivery of desired compds. (e.g., drugs and nucleic acids) into cells using pH-sensitive delivery systems is presented. The system provides compns. and methods for the delivery and release of a compd. to a cell. Transfection of Hela cells with histone H1 and the membrane active peptide melittin, dimethylmaleic-modified melittin or succinic anhydride-modified melittin was carried out. The 2,3-dimethylmaleic modification of melittin allowed the peptide to complex with the cationic protein histone H1 and then cleave to release and reactivate in the lowered pH encountered by the complex in the cellular endosomal compartment. This caused a significant increase in luciferase expression over either unmodified melittin peptide or melittin peptide modified with succinic anhydride which allows complexing with histone H1 but does not cleave in lowered pH.

IT **313271-79-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pH-sensitive polymer delivery systems for drugs and nucleic acids)

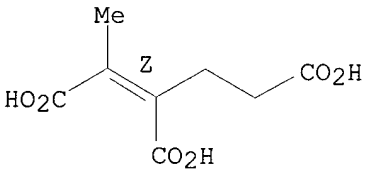
RN 313271-79-3 CAPLUS

CN 3-Pentene-1,3,4-tricarboxylic acid, 3(or 4)-amide with (9Z,9'Z)-N,N'-[1-[[(2-aminoethyl)amino]carbonyl]-1,2-ethanediyl]bis[9-octadecenamide] (9CI) (CA INDEX NAME)

CM 1

CRN 313271-78-2
CMF C8 H10 O6

Double bond geometry as shown.

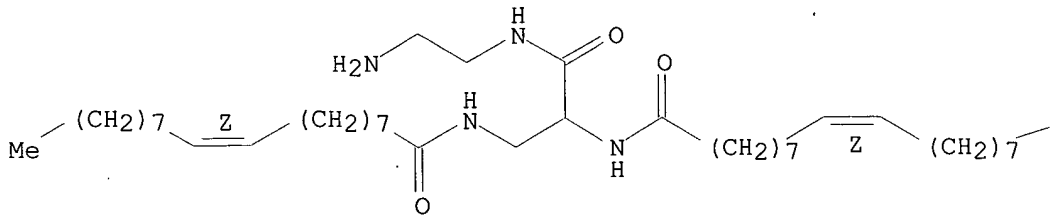


CM 2

CRN 313048-70-3
CMF C41 H78 N4 O3

Double bond geometry as shown.

PAGE 1-A



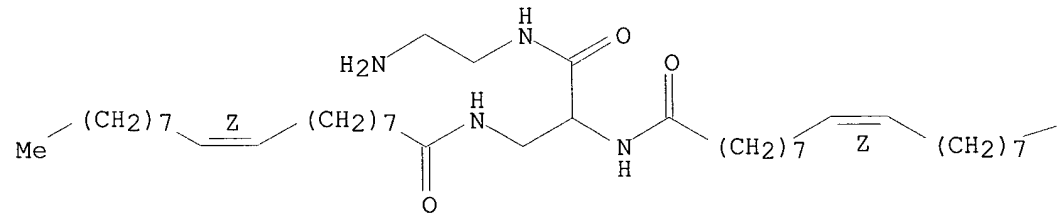
PAGE 1-B

Me

IT **313048-70-3P**, MC 213
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pH-sensitive polymer delivery systems for drugs and nucleic acids)
RN 313048-70-3 CAPLUS
CN 9-Octadecenamide, N,N'-[1-[[(2-aminoethyl)amino]carbonyl]-1,2-ethanediyl]bis-, (9Z,9'Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:608541 CAPLUS
DOCUMENT NUMBER: 129:216912
TITLE: Preparation of amino acid-containing lipids and transfer of DNA in a target cell and therapeutic use
INVENTOR(S): Bischoff, Rainer; Nazih, Abdesslame; Cordier, Yves
PATENT ASSIGNEE(S): Transgene S. A., Fr.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837916	A1	19980903	WO 1998-FR389	19980227
W: AU, CA, JP, SG, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2760193	A1	19980904	FR 1997-2420	19970228
FR 2760193	B1	19990528		
AU 9867352	A1	19980918	AU 1998-67352	19980227
AU 727040	B2	20001130		
EP 948360	A1	19991013	EP 1998-912565	19980227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000510871	T2	20000822	JP 1998-537387	19980227
US 6335199	B1	20020101	US 1998-171845	19981028
US 2002151070	A1	20021017	US 2001-21421	20011219

PRIORITY APPLN. INFO.:

FR 1997-2420	A	19970228
WO 1998-FR389	W	19980227
US 1998-171845	A1	19981028

OTHER SOURCE(S): MARPAT 129:216912

AB The invention concerns novel lipid compds. of formula (I) R-HN-[-(CH2)m-NR-]n-1-(CH2)m-NH-R in which: the radicals R are, independently from one another, a hydrogen atom or a group of formula (II) -CO-CH(CH2)pNHR1(NHR2)in which: R1 and R2 are, independently of each other, C6-C23 alkyl or alkenyl radicals, linear or branched or -C(=O)-(C6-C23) alkyl or -C(=O)-(C6-C23) alkenyl, linear or branched, aryl radicals, cycloalkyl radicals, fluoroalkyl radicals, polyethylene glycol groups, oxyethylene or oxymethylene groups optionally repeated, linear or branched, optionally substituted; p is a pos. whole no. from 1 to 4; n is a pos. whole no. from 1 to 6, m is a pos. whole no. from 1 to 6 which can be different for each -(CH2)m-NR- unit, and more particularly for each -(CH2)m-NR- unit when n > 1; the no. of groups R of formula (II) ranging between 1 and 4, said compds. being optionally in cationic form combined with one or several biol. acceptable anions. The invention also concerns novel complexes comprising at least one said cationic compd. and an active substance comprising neg. charges for introducing said active substances in the cells. It further concerns in particular novel complexes, of which the active substance consists of one or several nucleic acids, used for transfecting cells. Thus, glycolipid amino acids H2N(CH2)4NH(CH2)3NHCOCH(NHR)(CH2NHR) where R is oleoyl or stearoyl, were prepd. and and complexed with DNA in study of cellular transfection and genetic therapy.

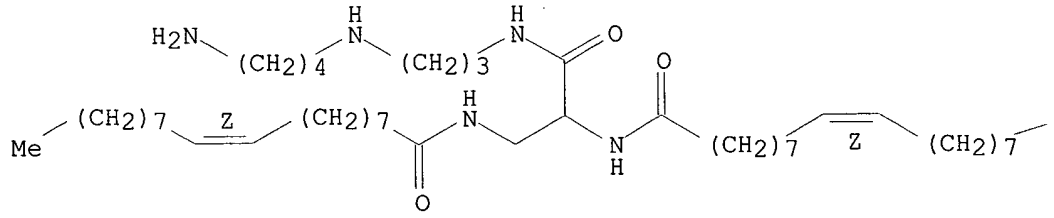
IT **212626-10-3P 212626-11-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of amino acid-contg. lipids and transfer of DNA in a target cell and therapeutic use)

RN 212626-10-3 CAPLUS

CN 9-Octadecenamide, N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis-, (9Z,9'Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

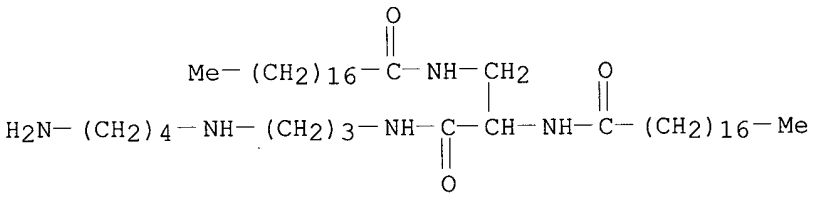
PAGE 1-A



PAGE 1-B

Me

RN 212626-11-4 CAPLUS
CN Octadecanamide, N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis- (9CI) (CA INDEX NAME)



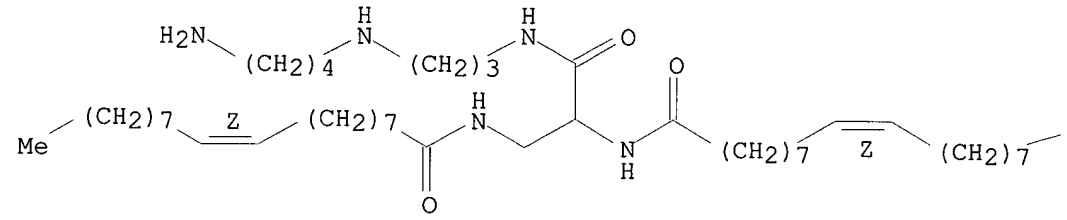
IT **212626-12-5DP**, plasmidic DNA bound **212626-12-5P**
212626-13-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid-contg. lipids and transfer of DNA in a target cell and therapeutic use)
RN 212626-12-5 CAPLUS
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with (9Z,9'Z)-N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[9-octadecenamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-10-3
CMF C46 H89 N5 O3

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

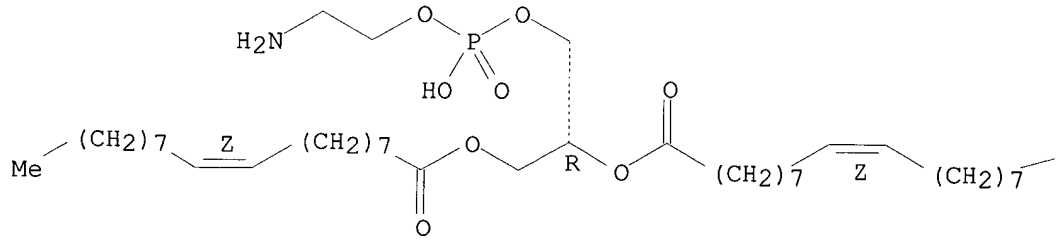
Me

CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

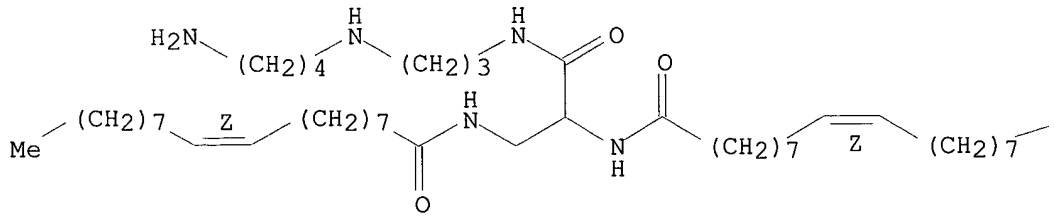
RN 212626-12-5 CAPLUS
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with (9Z,9'Z)-N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[9-octadecenamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-10-3
CMF C46 H89 N5 O3

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

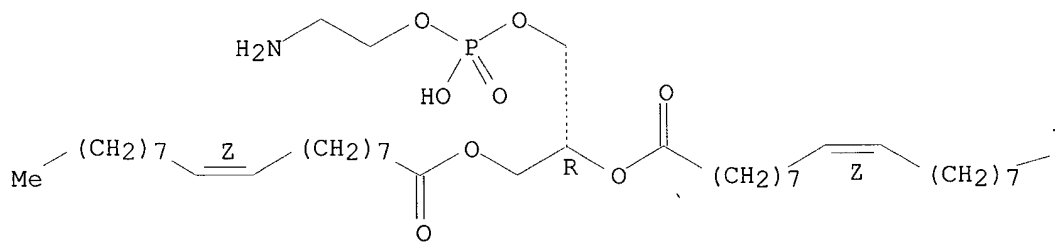
Me

CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



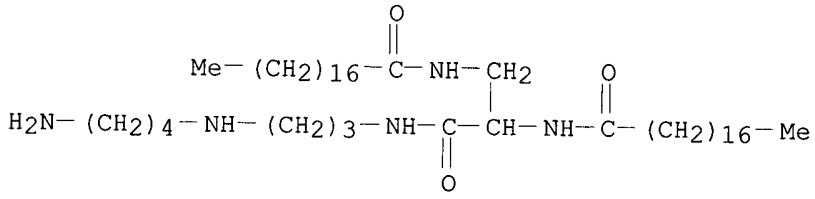
PAGE 1-B

Me

RN 212626-13-6 CAPLUS
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[octadecanamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-11-4
CMF C46 H93 N5 O3

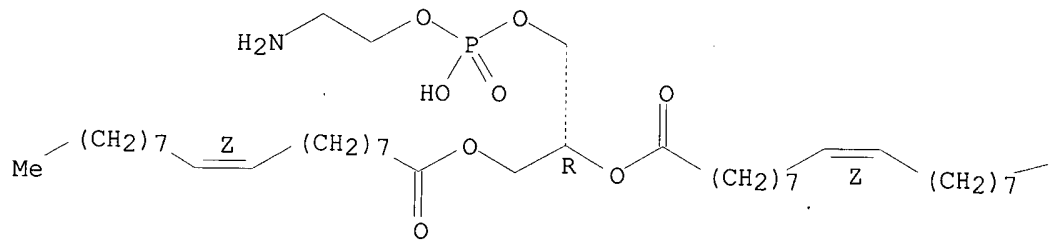


CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

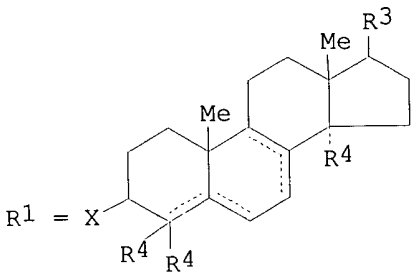
Me

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:594744 CAPLUS
DOCUMENT NUMBER: 127:234483
TITLE: Preparation of novel metabolizable lipopolyamines and their use in enabling biol. active materials to be incorporated into eucaryotic cells
INVENTOR(S): Konig, Stephan; Klosel, Roland
PATENT ASSIGNEE(S): Chemicon Laboratories G.m.b.H., Germany; Konig, Stephan; Klosel, Roland
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9731934 A2 19970904 WO 1997-EP973 19970228
WO 9731934 A3 19971224
W: CA, CN, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
DE 19607686 A1 19970904 DE 1996-19607686 19960229
PRIORITY APPLN. INFO.: DE 1996-19607686 19960229
OTHER SOURCE(S): MARPAT 127:234483
GI



AB The invention concerns novel metabolizable lipopolyamines H[NH(CH2)a]bNH(CH2)cCH(COR)(CH2)dNH[(CH2)eNH]fH [R = {NH(CHR2)gCO}hR1, D-, L-, DL-NH{(CHR2)kX1}m(CH2)nCH{(CH2)pX3R5}(CH2)qX2R6; R2, R3 = H, (un)branched alkyl, alkenyl, (un)substituted aralkyl, aryl; R4 = H, Me; R5, R6 = (un)branched alkyl, alkenyl; a, b, c, d, e, f, g, k, n, p, q = 0 - 6 (a = 0 only when b = 0 and e = 0 only when f = 0); h, m = 0 - 3 (g = 0 when h = 0 and h = 1 when g = 0, and k = 0 when m = 0 and m = 1 when k = 0); X = OH, NH; X1, X2, X3= CONH, OCONH; the steroid ring can have a double bond between C4-C5, C5-C6, C7-C8, C8-C9, with the provision that C5 and C8 at times both are part of double bonds with neighboring atoms; the substituents at all positions of the steroid can have .alpha.- or .beta.-configuration]. The lipopolyamine, [L-(BocNHCH2CH2CH2)N(Boc)CH2CH2CH2CH(BocNCH2CH2CH2NHBoc)CO]NHCH[CONH(CH2)17Me]CH2CONH(CH2)17Me, was prepd. via reaction of N-(tert-butoxycarbonyl)asparagine with stearylamine in THF or DMF contg. DCC, followed by sequential treatment with TFA in CH2Cl2, aq. NaHCO3, and L-(BocNHCH2CH2CH2)N(Boc)CH2CH2CH2CH(BocNCH2CH2CH2NHBoc)CO2H in THF or DMF contg. DCC. An example, of how these novel compds. enable biol. active materials, such as DNA, antisense DNA/RNA, ribozymes, antiviral substances, proteins and peptides, to be incorporated into eucaryotic cells, is given.

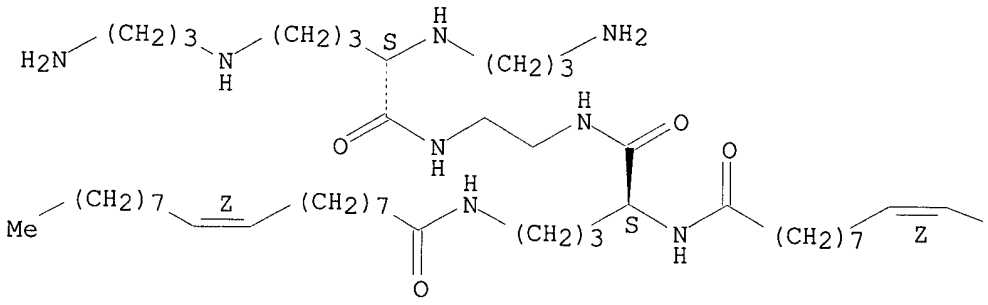
IT **195320-36-6P 195320-37-7P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(prepn. of metabolizable lipopolyamines for use in enabling bioactive materials entry into eucaryotic cells)

RN 195320-36-6 CAPLUS

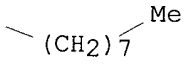
CN 9-Octadecenamide, N,N'-[1-[[[2-[[2,5-bis[(3-aminopropyl)amino]-1-oxopentyl]amino]ethyl]amino]carbonyl]-1,4-butanediyl]bis-, [S-[R*,R*-(Z,Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



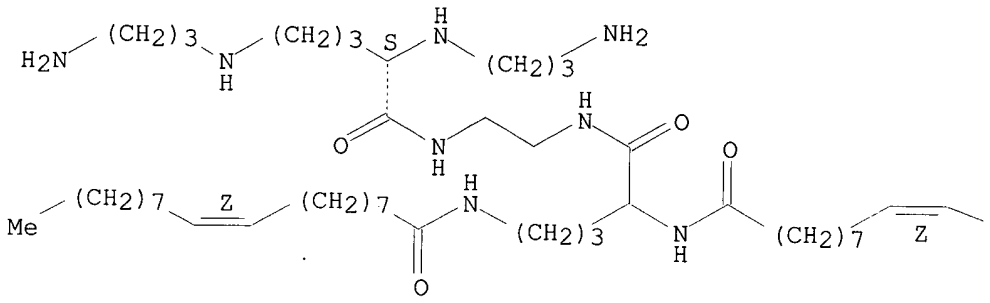
PAGE 1-B



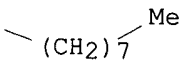
RN 195320-37-7 CAPLUS
CN 9-Octadecenamide, N,N'-[1-[[[2-[[2,5-bis[(3-aminopropyl)amino]-1-oxopentyl]amino]ethyl]amino]carbonyl]-1,4-butanediyl]bis-, [2S-(Z,Z)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L25 ANSWER 6 OF 7 USPATFULL
ACCESSION NUMBER: 2002:272949 USPATFULL
TITLE: Lipid compounds and compositions containing them which can be used for the transfer of at least one active substance, in particular a polynucleotide, into a target cell and use in gene therapy
INVENTOR(S): Bischoff, Rainer, Barsebacksby, SWEDEN
Nazih, Abdesslame, Strasbourg, FRANCE
Cordier, Yves, Strasbourg, FRANCE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002151070	A1	20021017
APPLICATION INFO.:	US 2001-21421	A1	20011219 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1998-171845, filed on 28 Oct 1998, GRANTED, Pat. No. US 6335199 A 371 of International Ser. No. WO 1998-FR389, filed on 27 Feb 1998, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1997-2420	19970228

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Norman H. Stepno, BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandra, VA, 22313-1404
NUMBER OF CLAIMS: 44
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Page(s)
LINE COUNT: 1344
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new lipid compounds of formula:

R--HN--[--(CH.sub.2).sub.m--NR--].sub.n-1--(CH.sub.2).sub.m--NH--R I

in which:

the R residues are, independently of each other, a hydrogen atom or a group of formula II: ##STR1##

for which:

R.sub.1 and R.sub.2 are, independently of each other, C.sub.6-C.sub.23 alkyl or alkenyl radicals, which are linear or branched, or radicals --C(.dbd.O)--(C.sub.6-C.sub.23) alkyl or --C(.dbd.O)--(C.sub.6-C.sub.23) alkenyl, which are linear or branched, aryl radicals, cycloalkyl radicals, fluoroalkyl radicals, polyethylene glycol groups, oxyethylene or oxymethylene groups which are optionally repeated, linear or branched, optionally substituted,

p is a positive integer from 1 to 4,

n is a positive integer from 1 to 6,

m is a positive integer from 1 to 6 which may be different for each motif --(CH.sub.2).sub.m, and more particularly for each motif --(CH.sub.2).sub.m--NR-- when n>1,

the number of R groups of formula II being between 1 and 4

said compounds being optionally in a cationic form and being combined with one or more biologically acceptable anions.

It also relates to new complexes comprising at least one said cationic compound and an active substance comprising negative charges allowing the introduction of said active substances into cells. It relates in particular to new complexes, in which the active substance consists of one or more nucleic acids, useful for transfecting cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

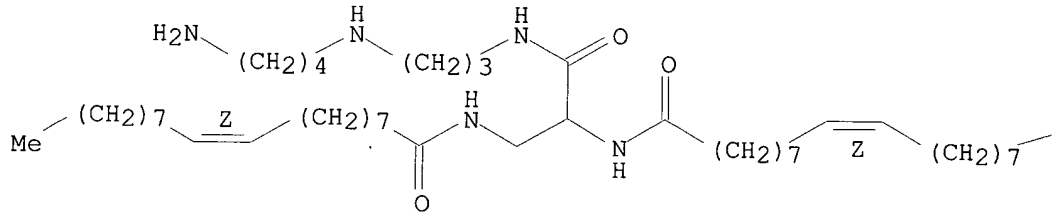
IT **212626-10-3P 212626-11-4P**
(prepn. of amino acid-contg. lipids and transfer of DNA in a target cell and therapeutic use)

RN 212626-10-3 USPATFULL

CN 9-Octadecenamide, N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis-, (9Z,9'Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

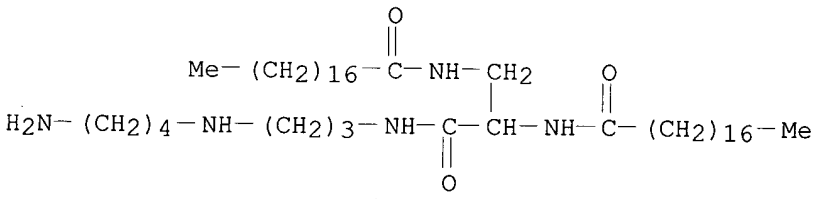


PAGE 1-B

Me

RN 212626-11-4 USPATFULL

CN Octadecanamide, N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis- (9CI) (CA INDEX NAME)



IT **212626-12-5DP**, plasmidic DNA bound **212626-12-5P 212626-13-6P**
(prepn. of amino acid-contg. lipids and transfer of DNA in a target cell and therapeutic use)

RN 212626-12-5 USPATFULL

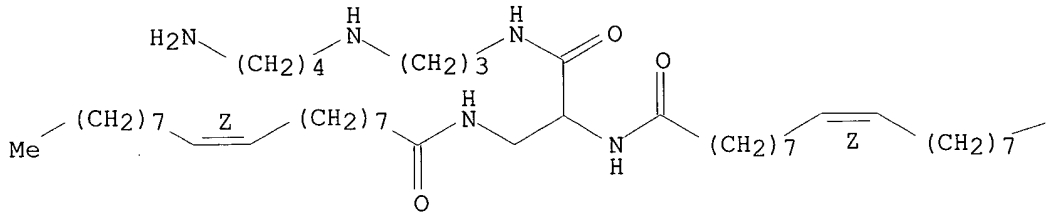
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with (9Z,9'Z)-N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[9-octadecenamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-10-3
CMF C46 H89 N5 O3

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

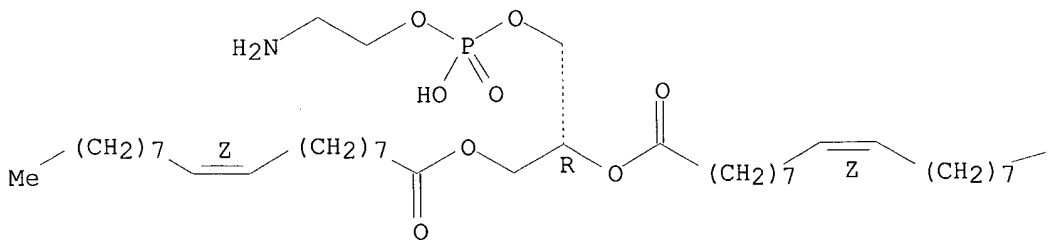
Me

CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

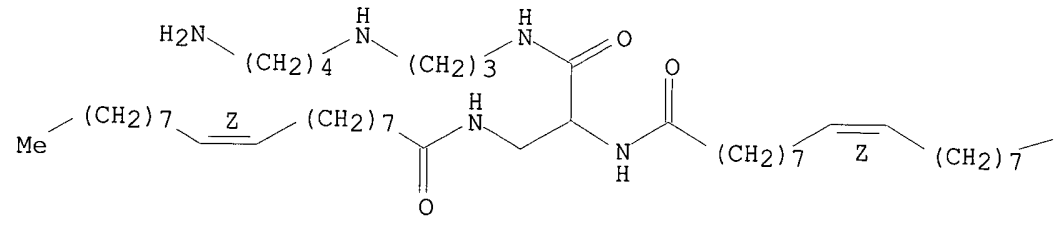
RN 212626-12-5 USPATFULL
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with (9Z,9'Z)-N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[9-octadecenamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-10-3
CMF C46 H89 N5 O3

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

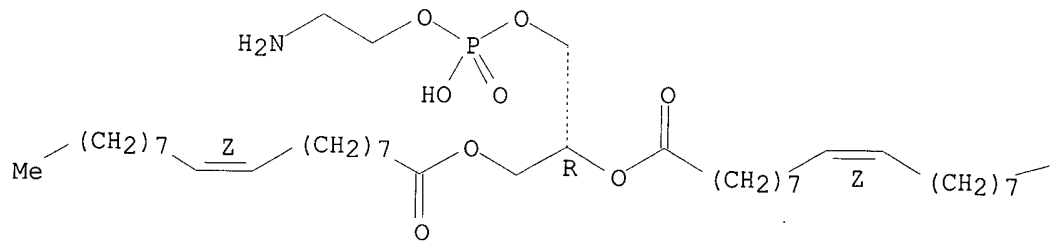
Me

CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



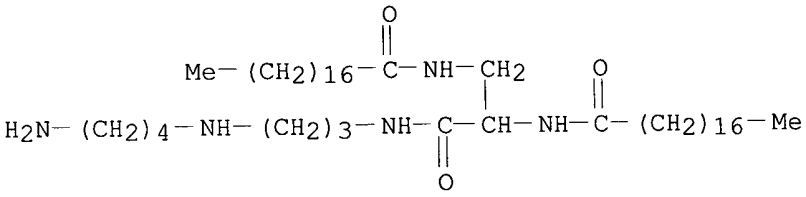
PAGE 1-B

Me

RN 212626-13-6 USPATFULL
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[octadecanamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-11-4
CMF C46 H93 N5 O3

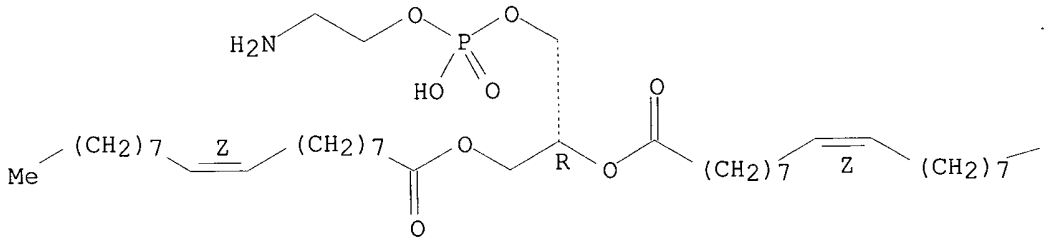


CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

L25 ANSWER 7 OF 7 USPATFULL

ACCESSION NUMBER:	2002:1104 USPATFULL
TITLE:	Lipid compounds and compositions containing same used for the transfer of at least an active substance, in particular a polynucleotide, in a target cell and therapeutic use
INVENTOR(S):	Bischoff, Rainer, Barsebacksby, SWEDEN Nazih, Abdesslame, Strasbourg, FRANCE Cordier, Yves, Strasbourg, FRANCE
PATENT ASSIGNEE(S):	Transgene S.A., Strasbourg, FRANCE (non-U.S. corporation)

PATENT INFORMATION:	NUMBER	KIND	DATE
	US 6335199	B1	20020101
	WO 9837916		19980903

APPLICATION INFO.:	US 1998-171845	19981028 (9)
	WO 1998-FR389	19980227
		19981028 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1997-2420	19970228

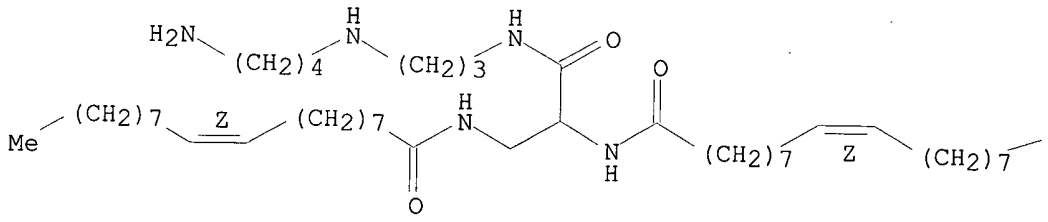
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Nguyen, Dave T.
ASSISTANT EXAMINER: Schnizer, Richard
LEGAL REPRESENTATIVE: Burns, Doane, Swecker & Mathis, L.L.P.
NUMBER OF CLAIMS: 41
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1306
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to lipid compounds of formula I, wherein said compounds are optionally in a cationic form and are optionally combined with one or more biologically acceptable anions. The present invention also relates to complexes comprising at least one cationic lipid compound of the formula I and an active substance comprising negative charges. The present invention further relates to methods of gene therapy using the complexes of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **212626-10-3P 212626-11-4P**
(prepn. of amino acid-contg. lipids and transfer of DNA in a target cell and therapeutic use)
RN 212626-10-3 USPATFULL
CN 9-Octadecenamide, N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis-, (9Z,9'Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

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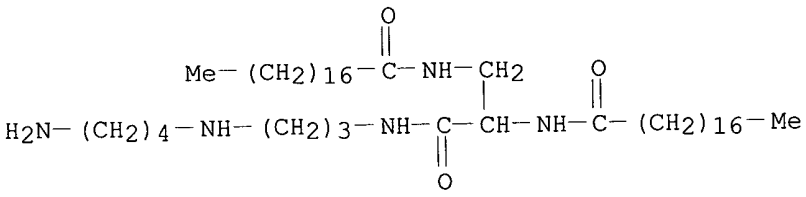
RN 212626-11-4 USPATFULL
CN Octadecanamide, N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis- (9CI) (CA INDEX NAME)



IT **212626-12-5DP**, plasmidic DNA bound **212626-12-5P**
212626-13-6P
(prepn. of amino acid-contg. lipids and transfer of DNA in a target cell and therapeutic use)

RN 212626-12-5 USPATFULL

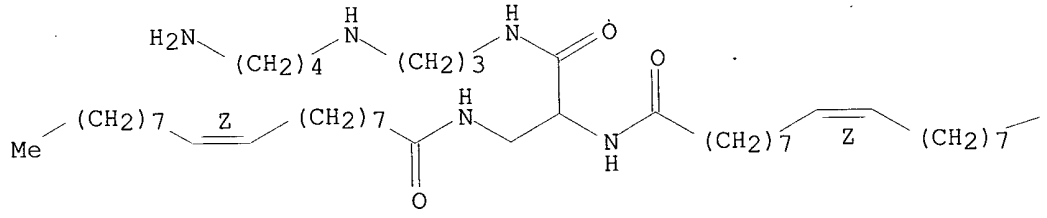
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with (9Z,9'Z)-N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[9-octadecenamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-10-3
CMF C46 H89 N5 O3

Double bond geometry as shown.

PAGE 1-A



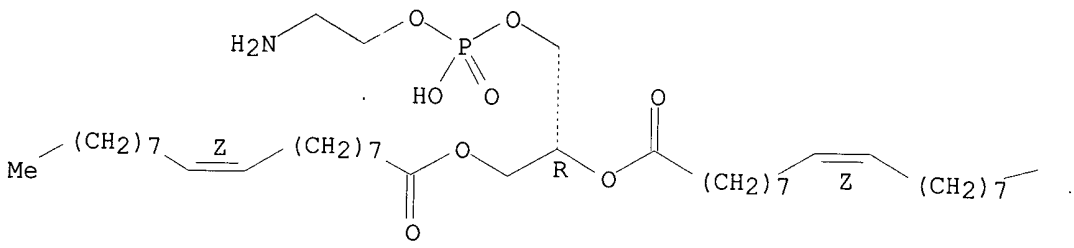
PAGE 1-B

CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

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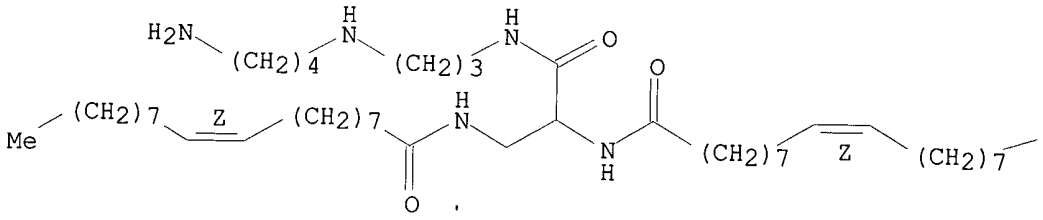
RN 212626-12-5 USPATFULL
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with (9Z,9'Z)-N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[9-octadecenamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-10-3
CMF C46 H89 N5 O3

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

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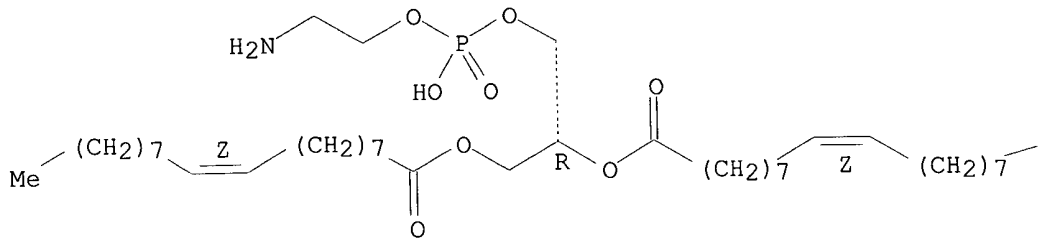
CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

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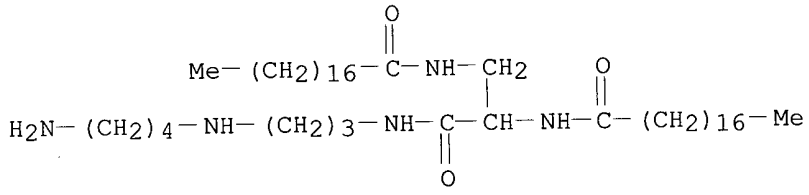
RN 212626-13-6 USPATFULL
CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, compd. with N,N'-[1-[[[3-[(4-aminobutyl)amino]propyl]amino]carbonyl]-1,2-ethanediyl]bis[octadecanamide] (9CI) (CA INDEX NAME)

CM 1

CRN 212626-11-4
CMF C46 H93 N5 O3

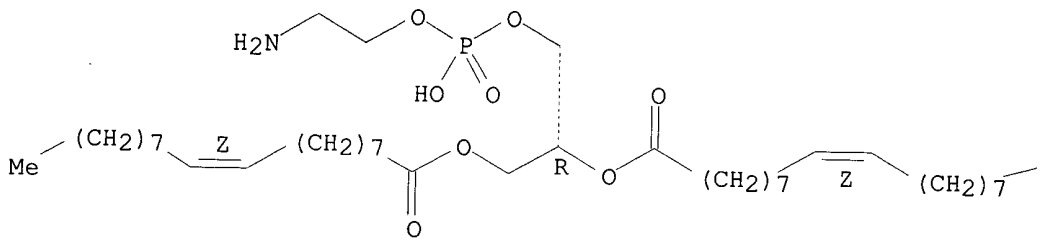


CM 2

CRN 4004-05-1
CMF C41 H78 N O8 P

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

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